

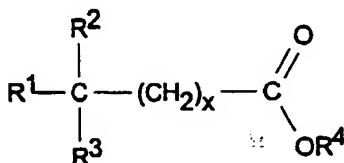
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(54) Title: NIACINAMIDE COMPOSITIONS WITH REDUCED TACK



(I)

(57) Abstract: The invention relates to a topical, leave-on skin care composition comprising: a) from 1 % to 10 % of a vitamin B<sub>3</sub> compound; and b) from 3 % to 10 % of a high spreading oil selected from i) branched chain hydrocarbons having a weight average molecular weight (MW) of from 100 to 1000; and ii) liquid ester emollients of formula (I): wherein R<sup>1</sup> is selected from H or CH<sub>3</sub>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are independently selected from C<sub>1</sub>-C<sub>20</sub> straight chain or branched chain alkyl, and x is an integer of from 1 to 20; and mixtures thereof; in a dermatologically acceptable carrier, characterised in that the composition comprises from 0.3 % to 4 % of an anti-tack agent selected from a poly(alphaolefin) having a MW of from 260 to 1000, preferably polydecene, and an occlusive agent selected from petrolatum, cetyl ricinoleate and lanolin. The compositions of the invention, which are preferably oil-in-water emulsions comprising less than 4 % anionic or amphoteric surfactant, are useful for maintaining a natural skin appearance and can be topically applied without undesirable cosmetic effects such as feeling sticky on the skin. The invention further relates to the use of polydecene or petrolatum for reducing the stickiness of a leave-on skin care composition comprising from 1 % to 10 % of a vitamin B<sub>3</sub> compound.

## Niacinamide Compositions with Reduced Tack

5

Skin Care Compositions

The present invention relates to the field of topical compositions for improving the appearance and feel of human skin. In particular it relates to leave-on skin care compositions, more particularly oil-in-water emulsions, which are effective in regulating skin condition without high levels of tack.

10

Background

A variety of compounds have been described in the art as being useful for regulating fine lines, wrinkles and other forms of undesirable skin surface texture. For example, Vitamin B<sub>3</sub> compounds, particularly niacinamide, have recently been found to provide measurable benefits in regulating skin condition, including regulating fine lines, wrinkles and other forms of uneven or rough surface texture associated with aged or photodamaged skin. Such materials however, when used at clinically effective levels can create undesirable short-term cosmetic effects, in particular a feeling of stickiness or tack upon application to the skin.

Many materials produce stickiness on the skin at elevated levels; glycerine is one of the more commonly known. Accordingly there are a number of approaches described in the art for reducing stickiness.

EP-A-692,242 discloses the use of hollow, deformable particles of a size of from 1 to 250  $\mu\text{m}$  for reducing the sticky feel of compositions rich in fatty substances.

WO92/19217 describes cosmetic compositions in the form of aqueous gels which comprise glycerine, a panthenol moisturiser and a polyglycerylmethacrylate (PGMA) lubricant. The compositions are said to have reduced tack. Similarly WO93/24101 describes cosmetic compositions comprising, *inter alia*, a polyhydric alcohol, especially glycerine, and PGMA. It teaches that trimethylglycine (betaine) is valuable from the viewpoint of providing improved skin feel and tack reduction.

Co-pending PCT applications nos. PCT/US98/22483 and PCT/US99/04748 disclose that the sticky feel of vitamin B<sub>3</sub> compounds can be offset by organic particulates.

Furthermore, it is known in the art, e.g. from WO98/52530, to add emollients, including petrolatum and branched hydrocarbons to vitamin B<sub>3</sub> compositions.

Co-pending PCT application no. PCT/US98/21521 discloses cosmetic compositions which provide improved moisturisation, skin feel, skin softness, skin smoothness benefits,  
5 together with excellent rub-in and absorption characteristics. Examples therein comprise both isohexadecane and niacinamide.

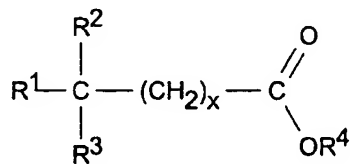
It has now been found that certain hydrocarbon emollients are effective for reducing the tack or stickiness of vitamin B<sub>3</sub> compositions. This is surprising in that the materials are themselves oily and might have been expected to increase rather than offset the feeling of  
10 stickiness.

It is an object of the present invention to provide vitamin B<sub>3</sub> compositions which are effective in regulating skin condition and which have reduced stickiness or tack.

#### Summary of the Invention

The present invention relates to a topical, leave-on skin care composition comprising:

- 15 a) from about 1% to about 10% of a vitamin B<sub>3</sub> compound;
- b) a high spreading oil selected from:
- i) branched chain hydrocarbons having a weight average molecular weight of from about 100 to about 1000 and
  - ii) liquid ester emollients of formula I:



Formula I

wherein R<sup>1</sup> is selected from H or CH<sub>3</sub>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are independently selected from C<sub>1</sub>-C<sub>20</sub> straight chain or branched chain alkyl, and x is an integer of from 1 to 20 and mixtures thereof; and

- 20 iii) mixtures thereof; and
- c) a dermatologically acceptable carrier,  
characterised in that the composition comprises from 0.3% to 4% of an anti-tack agent selected from a poly(alphaolefin) having a MW of from 260 to 1000, and an occlusive agent selected from petrolatum, cetyl ricinoleate and lanolin.

A second aspect of the invention relates to the use of polydecene for reducing the stickiness of a leave-on skin care composition comprising from about 1% to about 10% of a vitamin B<sub>3</sub> compound. A third aspect of the invention relates to the use of petrolatum for reducing the stickiness of a leave-on skin care composition comprising from about 1% to about 10% of a vitamin B<sub>3</sub> compound.

The compositions, which are preferably oil-in-water emulsions, are useful for maintaining a natural skin appearance and can be topically applied without undesirable cosmetic effects such as feeling sticky on the skin. In general the compositions of the invention comprise less than about 4%, preferably less than about 1% of an anionic, amphoteric or zwitterionic surfactant.

#### Detailed Description of the Invention

All percentages and ratios used herein are by weight of the total composition and all measurements made are at 25°C, unless otherwise designated. All publications cited herein are hereby incorporated by reference in their entirety.

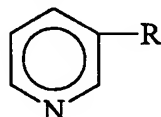
As used herein, the term "leave-on" in relation to skin care compositions means that it intended to be used without a rinsing step, such that after applying the composition to the skin, the composition is preferably left on the skin for a period of at least about 15 minutes, more preferably at least about 1 hour, most preferably for several hours.

Active and other ingredients useful herein may be categorised or described herein by their cosmetic and/or therapeutic benefit or their postulated mode of action. However, it is to be understood that the active and other ingredients useful herein can in some instances provide more than one cosmetic and/or therapeutic benefit or operate via more than one mode of action. Therefore, classifications herein are made for the sake of convenience and are not intended to limit an ingredient to the particularly stated application or applications listed.

The compositions of the present invention are useful for regulating skin condition, including regulating visible and/or tactile discontinuities in skin, especially those associated with skin ageing, such as wrinkles. Such discontinuities may be induced or caused by internal and/or external factors. Extrinsic factors include ultraviolet radiation (e.g., from sun exposure), environmental pollution, wind, heat, low humidity, harsh surfactants, abrasives, and the like. Intrinsic factors include chronological ageing and other biochemical changes from within the skin.

### The Vitamin B<sub>3</sub> Compounds

A first essential component of the compositions of the invention is from about 1% to about 10%, preferably from about 2% to about 8%, more preferably from about 3% to about 7% of a Vitamin B<sub>3</sub> compound. Such compounds may, when used by themselves, give rise to a sticky feel, especially when used at the higher levels. As used herein, "vitamin B<sub>3</sub> compound" means a compound having the formula:



wherein R is - CONH<sub>2</sub> (i.e., niacinamide), - COOH (i.e., nicotinic acid) or - CH<sub>2</sub>OH (i.e., nicotinyl alcohol); derivatives thereof; and salts of any of the foregoing.

Exemplary derivatives of the foregoing vitamin B<sub>3</sub> compounds include nicotinic acid esters, including non-vasodilating esters of nicotinic acid, nicotinyl amino acids, nicotinyl alcohol esters of carboxylic acids, nicotinic acid N-oxide and niacinamide N-oxide. As used herein, "non-vasodilating" means that the ester does not commonly yield a visible flushing response after application to the skin in the subject compositions (the majority of the general population would not experience a visible flushing response, although such compounds may cause vasodilation not visible to the naked eye, i.e., the ester is non-rubefacient). Non-vasodilating esters of nicotinic acid include tocopherol nicotinate and inositol hexanicotinate; tocopherol nicotinate is preferred.

Other derivatives of the vitamin B<sub>3</sub> compound are derivatives of niacinamide resulting from substitution of one or more of the amide group hydrogen. Examples of derivatives of niacinamide useful herein include nicotinyl amino acids, derived, for example, from the reaction of an activated nicotinic acid compound (e.g., nicotinic acid azide or nicotinyl chloride) with an amino acid, and nicotinyl alcohol esters of organic carboxylic acids (e.g., C1 - C18). Specific examples of such derivatives include nicotinuric acid (C<sub>8</sub>H<sub>8</sub>N<sub>2</sub>O<sub>3</sub>) and nicotinyl hydroxamic acid (C<sub>6</sub>H<sub>6</sub>N<sub>2</sub>O<sub>2</sub>). Exemplary nicotinyl alcohol esters include nicotinyl alcohol esters of the carboxylic acids salicylic acid, acetic acid, glycolic acid, and palmitic acid and the like. Other examples of vitamin B<sub>3</sub> compounds useful herein are 2-chloronicotinamide, 6-methylnicotinamide, N-methyl-nicotinamide, and niaprazine.

Vitamin B<sub>3</sub> compounds are well known in the art and are commercially available from a number of sources, e.g., the Sigma Chemical Company (St. Louis, MO); ICN Biomedicals, Inc. (Irvin, CA) and Aldrich Chemical Company (Milwaukee, WI).

One or more vitamin B<sub>3</sub> compounds may be used herein. Preferred vitamin B<sub>3</sub> compounds are niacinamide and tocopherol nicotinate. Niacinamide is more preferred.

Salts of the vitamin B<sub>3</sub> compound are also useful herein. Useful examples include organic or inorganic salts, such as inorganic salts with anionic inorganic species (e.g. chloride), and organic carboxylic acid salts. These and other salts of the vitamin B<sub>3</sub> compound can be readily prepared by the skilled artisan, for example, as described by W. Wenner, "The Reaction of L-Ascorbic and D-Isoascorbic Acid with Nicotinic Acid and Its Amide", J. Organic Chemistry, VOL. 14, 22-26 (1949). Wenner describes the synthesis of the ascorbic acid salt of niacinamide.

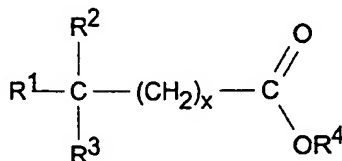
10 In a preferred embodiment, the ring nitrogen of the vitamin B<sub>3</sub> compound is uncomplexed, or after delivery to the skin becomes uncomplexed. More preferably, the vitamin B<sub>3</sub> compound is essentially uncomplexed. Therefore, if the composition contains the vitamin B<sub>3</sub> compound in a salt or otherwise complexed form, such complex is preferably substantially reversible upon delivery of the composition to the skin. Such  
15 complex should be substantially reversible at a pH of from about 5.0 to about 6.0. Such reversibility can be readily determined by one of ordinary skill in the art. Preferably, the vitamin B<sub>3</sub> compound contains less than 50% of the compound in salt form.

The vitamin B<sub>3</sub> compound may be included as the substantially pure material, or as an extract obtained by suitable physical and/or chemical isolation from natural (e.g., plant)  
20 sources. The vitamin B<sub>3</sub> compound is preferably substantially pure, by which is meant substantially free of impurities arising from the original source. Such compounds can be provided in solution, optionally with an anti-oxidant or other stabiliser.

#### High spreading oil

Highly preferred compositions of the invention further comprise from 3% to 10%,  
25 preferably from about 3% to about 8%, more preferably from about 4% to about 6%, of a high spreading oil selected from

- i) branched chain hydrocarbons having a weight average molecular weight of from about 100 to about 1000 and
- ii) liquid ester emollients of formula I:



Formula I

wherein  $R^1$  is selected from H or  $CH_3$ ,  $R^2$ ,  $R^3$  and  $R^4$  are independently selected from  $C_1$ - $C_{20}$  straight chain or branched chain alkyl, and x is an integer of from 1 to 20.

These high spreading oils are useful for distributing the vitamin B<sub>3</sub> compound on skin.

5 Suitable examples of branched chain hydrocarbons include isododecane, isohexadecane and isoeicosane. Preferred is isohexadecane. The poly(alphaolefin) anti-tack agents herein, described in further detail below, are typically also branched chain hydrocarbons. When these anti-tack agents are used then their amount is to be considered included in the levels of high spreading oils referred to above.

10 Suitable ester emollient materials of Formula I above include methyl isostearate, isopropyl isostearate, isostearyl neopentanoate, isononyl isononanoate, isodecyl octanoate, isodecyl isononanoate, tridecyl isononanoate, myristyl octanoate, octyl pelargonate, octyl isononanoate, myristyl myristate, myristyl neopentanoate, isostearyl neopentanoate, myristyl octanoate, myristyl propionate, isopropyl myristate and mixtures thereof.

Preferred ester emollients for use herein are isononyl isononanoate, isostearyl neopentanoate, methyl isostearate, isopropyl isostearate, isopropyl stearate, isopropyl myristate and mixtures thereof.

20 Particularly preferred high spreading oils for use herein are isohexadecane, isononyl isononanoate, methyl isostearate, isopropyl isostearate, or mixtures thereof.

Even more preferred for use herein is a mixture of high spreading oils comprising isohexadecane and isopropyl isostearate. Such a mixture is particularly preferred when the compositions of the invention comprise high levels of glycerine.

25 The ester emollient material is preferably present in the compositions at a level of from about 0.1% to about 10%, preferably from about 0.1% to about 8%, especially from about 0.5% to about 5% by weight of composition.

#### Anti-tack agents

30 A further essential component of the compositions of the invention is from about 0.3% to about 4%, preferably from about 0.5% to about 2.5%, more preferably from about 1% to about 2%, of an anti-tack agent selected from a poly(alphaolefin) having a MW of from about 260 to about 1000 and an occlusive agent selected from petrolatum, cetyl ricinoleate and lanolin. Whilst not completely understood it appears that the poly(alphaolefin) anti-tack agents and the occlusive anti-tack agents act via different mechanisms. Nevertheless, both are effective in reducing the sticky skin feel associated

with elevated levels of vitamin B<sub>3</sub> compounds. Although mixtures of the anti-tack agents are not excluded, best benefits are obtained when the anti-tack agent is selected from just one of the two classes.

Suitable poly(alphaolefins) as described above can be derived from 1-alkene monomers  
5 having from about 6 to about 14 carbon atoms, preferably from about 6 to about 12 carbon atoms, especially from about 8 to about 12 carbon atoms. The poly(alphaolefins) useful herein are preferably hydrogenated poly(alphaolefin) oligomers. Examples of 1-alkene monomers for use in preparing the polyalphaolefin oligomers herein include 1-hexene, 1-octene, 1-decene, 1-dodecene, 1-tetradecene, branched chain isomers such as 4-methyl-1-pentene, and combinations thereof. Most preferred are oligomers of 1-octene to  
10 1-dodecene or combinations thereof. Especially preferred is polydecene. Suitable polydecene oils are commercially available from Mobil Chemical Company, P.O. Box 3140, Edison, New Jersey 08818, USA, under the tradename Puresyn® 4 and from BP Amoco of 200 E. Randolph Drive, Chicago, IL 60601-7125 under the tradename Silkflo®  
15 364 NF.

Most preferred as an anti-tack agent is petrolatum.

#### Carrier

The compositions of the present invention comprise a dermatologically acceptable carrier, suitable for topical application to the skin within which the essential materials and  
20 optional other materials are incorporated to enable the essential materials and optional components to be delivered to the skin at an appropriate concentration. The carrier can thus act as a diluent, dispersant, solvent, or the like for the particulate material(s) and the active which ensures that they can be applied to and distributed evenly over the selected target at an appropriate concentration.

25 The topical compositions useful in the subject invention may be made into a wide variety of product forms such as are known in the art. These include, but are not limited to, lotions, creams, gels, sprays, ointments and mousses. Highly preferred carriers are liquid or semi-solid. Preferably the carrier is in the form of a lotion, cream or a gel, more preferably one which has a sufficient thickness or yield point to resist sedimentation of  
30 organic particulates. The carrier can itself be inert or it can possess dermatological benefits of its own. The carrier should also be physically and chemically compatible with the essential components described herein, and should not unduly impair stability, efficacy or other use benefits associated with the compositions of the present invention.



- Preferred carriers contain a dermatologically acceptable, hydrophilic diluent. Suitable hydrophilic diluents include water, organic hydrophilic diluents such as C<sub>1</sub> - C<sub>4</sub> monohydric alcohols and low molecular weight glycols and polyols, including propylene glycol, polyethylene glycol (e.g. of MW 200-600), polypropylene glycol (e.g. of MW 425-2025), glycerol, butylene glycol, 1,2,4-butanetriol, sorbitol esters, 1,2,6-hexanetriol, ethanol, iso-propanol, sorbitol esters, ethoxylated ethers, propoxylated ethers and combinations thereof. The diluent is preferably liquid. Water is an especially preferred diluent. The composition preferably comprises at least about 60% of the hydrophilic diluent.
- 10 Preferred carriers are emulsions comprising a hydrophilic phase, especially an aqueous phase, and a hydrophobic phase e.g., a lipid, oil or oily material. As well known to one skilled in the art, the hydrophilic phase will be dispersed in the hydrophobic phase, or vice versa, to form respectively hydrophilic or hydrophobic dispersed and continuous phases, depending on the composition ingredients. In emulsion technology, the term
- 15 "dispersed phase" is a term well-known to one skilled in the art which means that the phase exists as small particles or droplets that are suspended in and surrounded by a continuous phase. The dispersed phase is also known as the internal or discontinuous phase. The emulsion may be or comprise (e.g., in a triple or other multi-phase emulsion) an oil-in-water emulsion or a water-in-oil emulsion such as a water-in-silicone emulsion.
- 20 Oil-in-water emulsions typically comprise from about 1% to about 50% (preferably about 1% to about 30%) of the dispersed hydrophobic phase and from about 1% to about 99% (preferably from about 40% to about 90%) of the continuous hydrophilic phase; water-in-oil emulsions typically comprise from about 1% to about 98% (preferably from about 40% to about 90%) of the dispersed hydrophilic phase and from about 1% to about 50%
- 25 (preferably about 1% to about 30%) of the continuous hydrophobic phase. The emulsion may also comprise a gel network, such as described in G. M. Eccleston, Application of Emulsion Stability Theories to Mobile and Semisolid O/W Emulsions, Cosmetics & Toiletries, Vol. 101, November 1996, pp. 73-92. Preferred compositions herein are oil-in-water emulsions.
- 30 Preferred compositions have an apparent viscosity of from about 5,000 to about 200,000 mPa.s (centipoise). For example, preferred lotions have an apparent viscosity of from about 10,000 to about 40,000 mPa.s; preferred creams have an apparent viscosity of from about 30,000 to about 200,000 mPa.s. Apparent viscosity can be determined using a Brookfield DVII RV viscometer, spindle TD, at 5rpm, or the equivalent thereof. The
- 35 viscosity is determined on the composition after the composition has been allowed to stabilise following its preparation, generally at least 24 hours under conditions of 25°C

+/- 1°C and ambient pressure after preparation of the composition. Apparent viscosity is measured with the composition at a temperature of 25°C +/- 1°C, after 30 seconds spindle rotation.

The compositions of the present invention are usually formulated to have a pH of 9.5 or below and in general have a pH in the range from about 4.5 to about 9, more preferably from about 5 to about 8.5.

Some compositions, particularly those comprising an additional active such as salicylic acid, require a lower pH in order for the additional active to be fully efficacious. These compositions are usually formulated to have a pH of from about 2.5 to about 5, more preferably from about 2.7 to about 4.

#### Optional Components

The topical compositions of the present invention may comprise a wide variety of optional components, provided that such optional components are physically and chemically compatible with the essential components described herein, and do not unduly impair stability, efficacy or other use benefits associated with the compositions of the present invention. Optional components may be dispersed, dissolved or the like in the carrier of the present compositions.

Optional components include emollients, oil absorbents, antimicrobial agents, binders, buffering agents, denaturants, cosmetic astringents, external analgesics, film formers, humectants, opacifying agents, perfumes, pigments, skin soothing and healing agents, preservatives, propellants, skin penetration enhancers, solvents, suspending agents, emulsifiers, cleansing agents, thickening agents, solubilising agents, waxes, sunscreens, sunless tanning agents, antioxidants and/or radical scavengers, chelating agents, anti-acne agents, anti-inflammatory agents, desquamation agents/exfoliants, organic hydroxy acids, vitamins and natural extracts. Nonexclusive examples of such materials are described in Harry's Cosmeticology, 7th Ed., Harry & Wilkinson (Hill Publishers, London 1982); in Pharmaceutical Dosage Forms- Disperse Systems; Lieberman, Rieger & Banker, Vols. 1 (1988) & 2 (1989); Marcel Decker, Inc.; in The Chemistry and Manufacture of Cosmetics, 2nd. Ed., deNavarre (Van Nostrand 1962-1965); and in The Handbook of Cosmetic Science and Technology, 1st Ed., Knowlton & Pearce (Elsevier 1993). can also be used in the present invention.

#### Organic particulate material

Preferred compositions of the present invention comprise an organic particulate material having a refractive index of from about 1.3 to about 1.7, the particulate material being

dispersed in the composition and having a volume average particle size in the range of from about 5 to about 30  $\mu\text{m}$ , preferably from about 8 to about 25  $\mu\text{m}$ . Without wishing to be bound by theory, it is believed that the organic particulate material, being of at least equal diameter to the oil layer on the skin created by the compositions of the present invention, acts as a non-greasy lubricant which improves the overall skin feel and is also  
5 be useful in offsetting stickiness.

The volume average particle size is measured when the particulate material is in the neat form i.e. in the essentially pure, powder form prior to combination with the carrier of the invention. Particular methods of measuring particle size may, however, require the  
10 particulate material to be dispersed in an inert carrier, such as a pure oil, in order to measure the particle size distribution. Particle size can be determined by any suitable method known in the art, such as by using coulter-counter equipment or the ASTM Designation E20 - 85 "Standard Practice for Particle Size Analysis of Particulate Substances in the Range of 0.2 to 75 Micrometers by Optical Microscopy", ASTM  
15 Volume 14.02, 1993.

Refractive index can be determined by conventional methods. For example, a method for determining the refractive index which is applicable to the present invention is described in J. A. Dean, Ed., Lange's Handbook of Chemistry, 14th Ed., McGraw Hill, New York, 1992, Section 9, Refractometry. The refractive index is preferably in the range from  
20 about 1.35 to about 1.6, this range closely matching the refractive index of skin.

The compositions of the present invention preferably comprise from about 0.1% to about 10%, more preferably from about 0.3% to about 5%, especially from about 0.5% to about 2%, of the organic particulate material.

Preferred particulates are free-flowing, porous, materials, especially those having  
25 spheroidal particles. Suitable organic particulate materials include those made of poly-methylsilsesquioxane, referenced above, polyamide, polythene, polyacrylonitrile, poly-acrylic acid, polymethacrylic acid, polystyrene, polytetrafluoroethylene (PTFE) and poly-(vinylidene chloride). Copolymers derived from monomers of the aforementioned materials can also be used. Preferred are polyamides, especially nylon. Particularly  
30 preferred for use herein are porous, nylon particles having a volume average particle size in the range of from about 15 to about 25  $\mu\text{m}$ . Suitable nylon particles are commercially available from Elf Atochem SA, Paris, France under the tradename Orgasol®.

The compositions may contain other inorganic or organic particulate materials. However, it is preferred that the organic particulates in the compositions of the invention consist

essentially of the particulate material described in this section entitled "Organic Particulate Material."

#### Interference pigments

Another preferred component of the compositions of the present invention is a green,  
5 platelet-type interference pigment material having a  $\text{TiO}_2$  layer thickness of from about 120nm to about 160nm or a whole number multiple thereof. Preferably, the interference pigment material comprises platelet type mica which is coated with  $\text{TiO}_2$ . The colour of the reflected light varies depending on the thickness of the layer. The interference pigment material used in the present invention comprises at least a proportion of pigment  
10 material having a  $\text{TiO}_2$  layer thickness of from about 120nm to about 160nm or a whole number multiple thereof such that the pigment itself has an overall green appearance when applied to skin as a result of light reflection from the pigment platelets. Without wishing to be bound by theory it is believed that the inclusion of a low level of a green interference pigment helps offset areas of redness in the skin, without itself imparting an  
15 unnatural green appearance. In this way it assists in providing an overall even skin tone. Preferred interference pigment materials for use in the composition of the invention have  $\text{TiO}_2$  layer thicknesses of about 150nm and about 250 nm, preferably about 150 nm. Suitable examples are those supplied by Merck under the trade name Timiron<sup>®</sup>, especially Timiron<sup>®</sup> Silk Green, or supplied by Mearl under the trade name Flamenco<sup>®</sup>,  
20 especially Flamenco<sup>®</sup> Satin Green.

The interference pigment is generally present at a level of from about 0.05% to about 1.5%, preferably from about 0.1% to about 1%, more preferably from about 0.2% to about 0.5%.

#### Inorganic matting agent

25 Inorganic matting agents, such as titanium or zinc oxides, are also useful in the compositions of the present invention. When present, the matting agent is used at a level of no more than 3% to avoid undesirable skin whitening or an unnaturally 'opaque' appearance. Preferred for use herein is titanium dioxide and especially anatase titanium dioxide.

30 Anatase titanium oxide has a density of about  $3.90 \text{ g/cm}^3$  and a tetragonal, cubic close packed structure. The refractive index of anatase titanium oxide is 2.55. Anatase titanium dioxide is available from Kobo Products Inc. under the trade name Kobo BTB 11S2, from Whittaker, Clark, Daniels, South Plainfield, New Jersey, USA, under the trade

name TiO<sub>2</sub> 9729, and from Cardre Inc., South Plainfield, New Jersey, USA, under the trade name Carde 70429.

The preferred matting agents for use herein from the viewpoint of skin feel, skin appearance and emulsion compatibility are coated pigments. The pigments can be treated  
5 with compounds such as amino acids such as lysine, silicones, lauroyl, collagen, polyethylene, lecithin and ester oils. The most preferred matting agents are the organosilicon (polysiloxane) treated pigments, for example polysiloxane treated titanium dioxide. Most preferred is polysiloxane treated anatase titanium dioxide. The function of the surface treatment is to hydrophobically-modify the pigments so that they are  
10 "wetable" in an oil phase of oil-in-water emulsions.

The total concentration of the inorganic matting agent may be from about 0% to about 3% and is preferably from about 0.1 to about 2.5%, preferably from about 0.25 to 2%

#### Panthenol

A highly preferred, but optional, component of the compositions of this invention is  
15 panthenol. Panthenol is also useful for regulating skin condition but can additionally provide short-term benefits such as humectancy. Panthenol is preferably used at levels of from 0.1 to about 5%, more preferably from about 0.5 to about 3%.

#### Retinoids

The compositions of this invention optionally contain a retinoid. Retinoids are also useful  
20 for regulating skin condition.

As used herein, "retinoid" includes all natural and/or synthetic analogues of Vitamin A or retinol-like compounds which possess the biological activity of Vitamin A in the skin as well as the geometric isomers and stereoisomers of these compounds. The retinoid is preferably retinol, retinol esters (e.g., C<sub>2</sub> - C<sub>22</sub> alkyl esters of retinol, including retinyl  
25 palmitate, retinyl acetate, retinyl propionate), retinal, and/or retinoic acid (including all-trans retinoic acid and/or 13-cis-retinoic acid) or its esters such as tocopheryl retinoate. Preferably retinoids other than retinoic acid are used. These compounds are well known in the art and are commercially available from a number of sources, e.g., Sigma Chemical Company (St. Louis, MO), and Boehringer Mannheim (Indianapolis, IN). Other retinoids  
30 which are useful herein are described in U.S. Patent Nos. 4,677,120, issued Jun. 30, 1987 to Parish et al.; 4,885,311, issued Dec. 5, 1989 to Parish et al.; 5,049,584, issued Sep. 17, 1991 to Purcell et al.; 5,124,356, issued Jun. 23, 1992 to Purcell et al.; and Reissue 34,075, issued Sep. 22, 1992 to Purcell et al.. Preferred retinoids are the retinol esters

such as retinyl palmitate, retinyl acetate, and retinyl propionate. Most preferred are retinyl propionate and retinyl palmitate.

The compositions preferably contain from about 0.005% to about 2%, more preferably 0.01% to about 2%, retinoid. Retinol is most preferably used in an amount of from or  
5 about 0.01% to about 0.15%; retinol esters are most preferably used in an amount of from about 0.01% to about 2% (e.g., about 1%); retinoic acids are most preferably used in an amount of from about 0.01% to about 0.25%; tocopheryl retinoate is preferably used in an amount of from about 0.01% to about 2%.

### Emollients

10 The topical compositions of the subject invention generally comprise from about 1% to about 50%, preferably from about 3% to about 15% of a dermatologically acceptable emollient. Emollients tend to lubricate the skin, increase the smoothness and suppleness of the skin, prevent or relieve dryness of the skin, and/or protect the skin. Emollients are typically water-immiscible, oily or waxy materials. The high spreading oils and anti-tack  
15 agents of the present invention also act as emollients. A wide variety of other suitable emollients are known and may be used herein. Sagarin, Cosmetics, Science and Technology, 2nd Edition, Vol. 1, pp. 32-43 (1972) contains numerous examples of materials suitable as an emollient. Illustrative examples of emollients include:

- 20 i) Straight and branched chain hydrocarbons having from about 7 to about 40 carbon atoms, such as dodecane, squalane, cholesterol, hydrogenated polyisobutylene, isohexadecane and the C<sub>7</sub>-C<sub>40</sub> isoparaffins, which are C<sub>7</sub>-C<sub>40</sub> branched hydrocarbons.
- 25 ii) C<sub>1</sub>-C<sub>30</sub> alcohol esters of C<sub>1</sub>-C<sub>30</sub> carboxylic acids and of C<sub>2</sub>-C<sub>30</sub> dicarboxylic acids, e.g. isononyl isononanoate, isopropyl myristate, myristyl propionate, isopropyl stearate, behenyl behenate, dioctyl maleate, diisopropyl adipate, and diisopropyl dilinoleate. These include the ester emollients already described above.
- iii) mono-, di- and tri- glycerides of C<sub>1</sub>-C<sub>30</sub> carboxylic acids and ethoxylated derivatives thereof, e.g., caprylic/capric triglyceride, PEG-6 caprylic/capric triglyceride.
- 30 iv) alkylene glycol esters of C<sub>1</sub>-C<sub>30</sub> carboxylic acids, e.g. ethylene glycol mono- and di-esters, and propylene glycol mono- and di- esters of C<sub>1</sub>-C<sub>30</sub> carboxylic acids e.g., ethylene glycol distearate.
- v) C<sub>1</sub>-C<sub>30</sub> mono- and poly- esters of sugars and related materials. These esters are derived from a sugar or polyol moiety and one or more carboxylic acid moieties. Depending on the constituent acid and sugar, these esters can be in either liquid or

- solid form at room temperature. Examples include: glucose tetraoleate, the galactose tetraesters of oleic acid, the sorbitol tetraoleate, sucrose tetraoleate, sucrose pentaoleate, sucrose hexaoleate, sucrose heptaoleate, sucrose octaoleate, sorbitol hexaester in which the carboxylic acid ester moieties are palmitoleate and arachidate in a 1:2 molar ratio, and the octaester of sucrose wherein the esterifying carboxylic acid moieties are laurate, linoleate and behenate in a 1:3:4 molar ratio. Other materials include cottonseed oil or soybean oil fatty acid esters of sucrose. Other examples of such materials are described in WO 96/16636. A particularly preferred material is known by the INCI name sucrose polycottonseedate
- 5
- 10 vi) Organopolysiloxane oils. The organopolysiloxane oil may be volatile, non-volatile, or a mixture of volatile and non-volatile silicones. The term "non-volatile" as used in this context refers to those silicones that are liquid under ambient conditions and have a flash point (under one atmospheric of pressure) of or greater than about 100° C. The term "volatile" as used in this context refers to all other silicone oils.
- 15 Suitable organopolysiloxanes can be selected from a wide variety of silicones spanning a broad range of volatilities and viscosities. Non-volatile polysiloxanes are preferred. Suitable silicones are disclosed in U.S. Patent No. 5,069,897, issued December 3, 1991. Preferred for use herein are organopolysiloxanes selected from the group consisting of polyalkylsiloxanes, alkyl substituted dimethicones, dimethiconols, polyalkylaryl siloxanes, and mixtures thereof. More preferred for use
- 20 herein are polyalkylsiloxanes and cyclomethicones. Preferred among the polyalkylsiloxanes are dimethicones.
- vii) Vegetable oils and hydrogenated vegetable oils. Examples of vegetable oils and hydrogenated vegetable oils include safflower oil, castor oil, coconut oil, cottonseed
- 25 oil, menhaden oil, palm kernel oil, palm oil, peanut oil, soybean oil, rapeseed oil, linseed oil, rice bran oil, pine oil, sesame oil, sunflower seed oil, partially and fully hydrogenated oils from the foregoing sources, and mixtures thereof.
- viii) animal fats and oils, e.g. cod liver oil, lanolin and derivatives thereof such as acetylated lanolin and isopropyl lanolate. Lanolin oil is preferred.
- 30 ix) Also useful are C<sub>4</sub>-C<sub>20</sub> alkyl ethers of polypropylene glycols, C<sub>1</sub>-C<sub>20</sub> carboxylic acid esters of polypropylene glycols, and di-C<sub>8</sub>-C<sub>30</sub> alkyl ethers, examples of which include PPG-14 butyl ether, PPG-15 stearyl ether, dioctyl ether, dodecyl octyl ether, and mixtures thereof.

### Humectants

A highly preferred optional component is a humectant, particularly of the polyhydric alcohol-type. Typical polyhydric alcohols include polyalkylene glycols and more preferably alkylene polyols and their derivatives, including propylene glycol, dipropylene glycol, polypropylene glycol, polyethylene glycol and derivatives thereof, sorbitol, hydroxypropyl sorbitol, erythritol, threitol, pentaerythritol, xylitol, glucitol, mannitol, hexylene glycol, butylene glycol (e.g., 1,3-butylene glycol), hexane triol (e.g., 1,2,6-hexanetriol), glycerine, ethoxylated glycerine and propoxylated glycerine.

Also useful herein are sodium 2-pyrrolidone-5-carboxylate, guanidine; glycolic acid and glycolate salts (e.g. ammonium and quaternary alkyl ammonium); lactic acid and lactate salts (e.g. ammonium and quaternary alkyl ammonium); aloe vera in any of its variety of forms (e.g., aloe vera gel); hyaluronic acid and derivatives thereof (e.g., salt derivatives such as sodium hyaluronate); lactamide monoethanolamine; acetamide monoethanolamine; urea; panthenol; sodium pyroglutamate (NaPCA), water-soluble glyceryl poly(meth)acrylate lubricants (such as Hispagel®) and mixtures thereof.

The above listed compounds may be incorporated singly or in combination. Preferred humectants are selected from glycerine, glyceryl polyacrylate, urea, and mixtures thereof. Most preferred is glycerine, which can be used at levels of from about 1 to about 15%, preferably from about 4% to about 14%. It is known in the art that glycerine can also give rise to a feeling of stickiness at these levels. It has been found that the benefits of the vitamin B<sub>3</sub> compound stickiness reduction afforded by the anti-tack agents of the present invention also extend to reducing the stickiness or tack of glycerine. For lotions and creams intended for the body or face, glycerine levels of from about 7% to about 15% are suitable, preferred levels are from about 9% to about 14%. For hand lotions and creams, levels of from about 4% to about 8% are preferred, more preferred is from about 5% to about 7%.

Especially preferred formulations of the present invention include both niacinamide and glycerine with a total niacinamide and glycerine content of from about 7% to about 16%, preferably from about 9% to about 15%, with total niacinamide and glycerine levels of from about 8% to about 12% preferred for use on hands and from about 12% to about 15% preferred for use on the face and / or body.

### Emulsifiers/Surfactants

Compositions herein preferably contain an emulsifier and/or surfactant, generally to help disperse and suspend the discontinuous phase within the continuous phase. A surfactant



may also be useful if the product is intended for skin cleansing. For convenience hereinafter emulsifiers will be referred to under the term 'surfactants', thus 'surfactant(s)' will be used to refer to surface active agents whether used as emulsifiers or for other surfactant purposes such as skin cleansing. Known or conventional surfactants can be used in the composition, provided that the selected agent is chemically and physically compatible with essential components of the composition, and provides the desired characteristics. Suitable surfactants include silicone materials, non-silicone materials, and mixtures thereof.

The compositions of the present invention preferably comprise from about 0.05% to about 15% of a surfactant or mixture of surfactants. The exact surfactant or surfactant mixture chosen will depend upon the pH of the composition and the other components present.

Preferred surfactants are nonionic. Among the nonionic surfactants that are useful herein are those that can be broadly defined as condensation products of long chain alcohols, e.g. C<sub>8-30</sub> alcohols, with sugar or starch polymers, i.e., glycosides. These compounds can be represented by the formula (S)<sub>n</sub>-O-R wherein S is a sugar moiety such as glucose, fructose, mannose, and galactose; n is an integer of from about 1 to about 1000, and R is a C<sub>8-30</sub> alkyl group. Examples of long chain alcohols from which the alkyl group can be derived include decyl alcohol, cetyl alcohol, stearyl alcohol, lauryl alcohol, myristyl alcohol, oleyl alcohol, and the like. Preferred examples of these surfactants include those wherein S is a glucose moiety, R is a C<sub>8-20</sub> alkyl group, and n is an integer of from about 1 to about 9. Commercially available examples of these surfactants include decyl polyglucoside (available as APG 325 CS from Henkel), lauryl polyglucoside (available as APG 600 CS and 625 CS from Henkel) and cetearyl polyglucoside (available as Montanov 68 from Seppic Corp.)

Other useful nonionic surfactants include the condensation products of alkylene oxides with fatty acids (i.e. alkylene oxide esters of fatty acids). These materials have the general formula RCO(X)<sub>n</sub>OH wherein R is a C<sub>10-30</sub> alkyl group, X is -OCH<sub>2</sub>CH<sub>2</sub>- (i.e. derived from ethylene glycol or oxide) or -OCH<sub>2</sub>CHCH<sub>3</sub>- (i.e. derived from propylene glycol or oxide), and n is an integer from about 6 to about 200. Other nonionic surfactants are the condensation products of alkylene oxides with 2 moles of fatty acids (i.e. alkylene oxide diesters of fatty acids). These materials have the general formula RCO(X)<sub>n</sub>OOCR wherein R is a C<sub>10-30</sub> alkyl group, X is -OCH<sub>2</sub>CH<sub>2</sub>- (i.e. derived from ethylene glycol or oxide) or -OCH<sub>2</sub>CHCH<sub>3</sub>- (i.e. derived from propylene glycol or oxide), and n is an integer from about 6 to about 100. Other nonionic surfactants are the condensation products of alkylene oxides with fatty alcohols (i.e. alkylene oxide ethers of

fatty alcohols). These materials have the general formula  $R(X)_nOR'$  wherein R is a C<sub>10</sub>-30 alkyl group, X is -OCH<sub>2</sub>CH<sub>2</sub>- (i.e. derived from ethylene glycol or oxide) or -OCH<sub>2</sub>CHCH<sub>3</sub>- (i.e. derived from propylene glycol or oxide), and n is an integer from about 6 to about 100 and R' is H or a C<sub>10</sub>-30 alkyl group. Still other nonionic surfactants are the condensation products of alkylene oxides with both fatty acids and fatty alcohols [i.e. wherein the polyalkylene oxide portion is esterified on one end with a fatty acid and etherified (i.e. connected via an ether linkage) on the other end with a fatty alcohol]. These materials have the general formula  $RCO(X)_nOR'$  wherein R and R' are C<sub>10</sub>-30 alkyl groups, X is -OCH<sub>2</sub>CH<sub>2</sub> (i.e. derived from ethylene glycol or oxide) or -OCH<sub>2</sub>CHCH<sub>3</sub>- (derived from propylene glycol or oxide), and n is an integer from about 6 to about 100, examples of which include ceteth-6, ceteth-10, ceteth-12, cetareth-6, cetareth-10, cetareth-12, steareth-6, steareth-10, steareth-12, PEG-6 stearate, PEG-10 stearate, PEG-100 stearate, PEG-12 stearate, PEG-20 glyceryl stearate, PEG-80 glyceryl tallowate, PEG-10 glyceryl stearate, PEG-30 glyceryl cocoate, PEG-80 glyceryl cocoate, PEG-200 glyceryl tallowate, PEG-8 dilaurate, PEG-10 distearate, and mixtures thereof.

Still other useful nonionic surfactants include polyhydroxy fatty acid amide surfactants, which are described in more detail in WO 98/04241.

Preferred among the nonionic surfactants are those selected from the group consisting of steareth-2, steareth-21, cetareth-20, cetareth-12, sucrose cocoate, steareth-100, PEG-100 stearate, and mixtures thereof.

Other nonionic surfactants suitable for use herein include sugar esters and polyesters, alkoxylated sugar esters and polyesters, C<sub>1</sub>-C<sub>30</sub> fatty acid esters of C<sub>1</sub>-C<sub>30</sub> fatty alcohols, alkoxylated derivatives of C<sub>1</sub>-C<sub>30</sub> fatty acid esters of C<sub>1</sub>-C<sub>30</sub> fatty alcohols, alkoxylated ethers of C<sub>1</sub>-C<sub>30</sub> fatty alcohols, polyglyceryl esters of C<sub>1</sub>-C<sub>30</sub> fatty acids, C<sub>1</sub>-C<sub>30</sub> esters of polyols, C<sub>1</sub>-C<sub>30</sub> ethers of polyols, alkyl phosphates, polyoxyalkylene fatty ether phosphates, fatty acid amides, acyl lactylates, and mixtures thereof. Examples of these non-silicon-containing surfactants include: polysorbate 20, polyethylene glycol 5 soya sterol, steareth-20, cetareth-20, PPG-2 methyl glucose ether distearate, ceteth-10, polysorbate 80, polysorbate 60, glyceryl stearate, sorbitan monolaurate, polyoxyethylene 4 lauryl ether sodium stearate, polyglyceryl-4 isostearate, hexyl laurate, PPG-2 methyl glucose ether distearate, PEG-100 stearate, and mixtures thereof.

Another emulsifier useful herein are fatty acid ester blends based on a mixture of sorbitan or sorbitol fatty acid ester and sucrose fatty acid ester, the fatty acid in each instance being preferably C<sub>8</sub>-C<sub>24</sub>, more preferably C<sub>10</sub>-C<sub>20</sub>. The preferred fatty acid ester emulsifier is a blend of sorbitan or sorbitol C<sub>16</sub>-C<sub>20</sub> fatty acid ester with sucrose C<sub>10</sub>-

C<sub>16</sub> fatty acid ester, especially sorbitan stearate and sucrose cocoate. This is commercially available from ICI under the trade name Arlatone 2121.

The hydrophilic surfactants useful herein can alternatively or additionally include any of a wide variety of cationic, anionic, zwitterionic, and amphoteric surfactants such as are known in the art. See, e.g., McCutcheon's, Detergents and Emulsifiers, North American Edition (1986), published by Allured Publishing Corporation; U.S. Patent No. 5,011,681 to Ciotti et al., issued April 30, 1991; U.S. Patent No. 4,421,769 to Dixon et al., issued December 20, 1983; and U.S. Patent No. 3,755,560 to Dickert et al., issued August 28, 1973.

Anionic, amphoteric and zwitterionic surfactants can be used herein, in general though they are more suited to rinse-off cleansing compositions and their levels are kept to below 4%, preferably below 1%. Exemplary anionic surfactants include the alkyl isethionates (e.g., C<sub>12</sub> - C<sub>30</sub>), alkyl and alkyl ether sulfates and salts thereof, alkyl and alkyl ether phosphates and salts thereof, alkyl methyl taurates (e.g., C<sub>12</sub> - C<sub>30</sub>), and soaps (e.g., alkali metal salts, e.g., sodium or potassium salts) of fatty acids. Examples of amphoteric and zwitterionic surfactants which can be used in the compositions of the present invention include alkyl imino acetates, iminodialkanoates and aminoalkanoates, imidazolinium and ammonium derivatives. Other suitable amphoteric and zwitterionic surfactants are those selected from the group consisting of betaines, sultaines, hydroxysultaines, alkyl sarcosinates (e.g., C<sub>12</sub> - C<sub>30</sub>), and alkanoyl sarcosinates.

Preferred emulsions of the present invention include a silicone containing emulsifier or surfactant. A wide variety of silicone emulsifiers are useful herein. These silicone emulsifiers are typically organically modified organopolysiloxanes, also known to those skilled in the art as silicone surfactants. Useful silicone emulsifiers include dimethicone copolyols. These materials are polydimethyl siloxanes which have been modified to include polyether side chains such as polyethylene oxide chains, polypropylene oxide chains, mixtures of these chains, and polyether chains containing moieties derived from both ethylene oxide and propylene oxide. Other examples include alkyl-modified dimethicone copolyols, i.e., compounds which contain C<sub>2</sub>-C<sub>30</sub> pendant side chains. Still other useful dimethicone copolyols include materials having various cationic, anionic, amphoteric, and zwitterionic pendant moieties.

#### Thickening Agent (including thickeners and gelling agents)

The compositions of the present invention can also comprise a thickening agent, preferably at a level of from about 0.1% to about 5%, more preferably from about 0.1% to about 3%, and most preferably from about 0.25% to about 2%.

- Suitable thickening agents include cellulose and derivatives such as cellulose, carboxymethyl hydroxyethylcellulose, cellulose acetate propionate carboxylate, hydroxyethylcellulose, hydroxyethyl ethylcellulose, hydroxypropylcellulose, hydroxypropyl methylcellulose, methyl hydroxyethylcellulose, microcrystalline cellulose, sodium cellulose sulfate, and mixtures thereof. Also useful herein are the alkyl substituted celluloses. In these polymers, the hydroxy groups of the cellulose polymer is hydroxyalkylated (preferably hydroxyethylated or hydroxypropylated) to form a hydroxyalkylated cellulose which is then further modified with a C<sub>10</sub>-C<sub>30</sub> straight chain or branched chain alkyl group through an ether linkage. Typically these polymers are ethers of C<sub>10</sub>-C<sub>30</sub> straight or branched chain alcohols with hydroxyalkylcelluloses. Examples of alkyl groups useful herein include those selected from the group consisting of stearyl, isostearyl, lauryl, myristyl, cetyl, isocetyl, cocoyl (i.e. alkyl groups derived from the alcohols of coconut oil), palmityl, oleyl, linoleyl, linolenyl, ricinoleyl, behenyl, and mixtures thereof.
- Other useful thickeners include acacia, agar, algin, alginic acid, ammonium alginate, amylopectin, calcium alginate, calcium carrageenan, carnitine, carrageenan, dextrin, gelatin, gellan gum, guar gum, guar hydroxypropyltrimonium chloride, hectorite, hyaluronic acid, hydrated silica, hydroxypropyl chitosan, hydroxypropyl guar, karaya gum, kelp, locust bean gum, natto gum, potassium alginate, potassium carrageenan, propylene glycol alginate, sclerotium gum, sodium carboxymethyl dextran, sodium carrageenan, tragacanth gum, xanthan gum, and mixtures thereof. Also useful are acrylic acid/ethyl acrylate copolymers and the carboxyvinyl polymers sold by the B.F. Goodrich Company under the trade mark of Carbopol resins. Suitable Carbopol resins are described in WO98/22085.
- Preferred compositions of the present invention include a thickening agent selected from carboxylic acid polymers, crosslinked polyacrylates, polyacrylamides, xanthan gum and mixtures thereof, more preferably selected polyacrylamide polymers, xanthan gum and mixtures thereof. Preferred polyacrylamides are predispersed in a water-immiscible solvent such as mineral oil and the like, containing a surfactant (HLB from about 7 to about 10) which helps to facilitate water dispersibility of the polyacrylamide. Most preferred for use herein is the non-ionic polymer under the CTFA designation: polyacrylamide and isoparaffin and laureth-7, available under the trade name Sepigel 305 from Seppic Corporation.

### Anti-Inflammatory Agents

A safe and effective amount of an anti-inflammatory agent may be added to the compositions of the subject invention, preferably from about 0.1% to about 5%, more preferably from about 0.1% to about 2%, of the composition. The anti-inflammatory agent enhances the skin appearance benefits of the present invention, e.g., such agents contribute to a more uniform and acceptable skin tone or colour. The exact amount of anti-inflammatory agent to be used in the compositions will depend on the particular anti-inflammatory agent utilised since such agents vary widely in potency.

Anti-inflammatory agents useful herein include steroids such as hydrocortisone; non-steroidal anti-inflammatory drugs (NSAIDS) such as ibuprofen; panthenol and ether and ester derivatives thereof e.g. panthenol ethyl ether, panthenyl triacetate; pantothenic acid and salt and ester derivatives thereof, especially calcium pantothenate; aloe vera, bisabolol, allantoin and compounds of the liquorice (the plant genus/species Glycyrrhiza glabra) family, including glycyrrhetic acid, glycyrrhizic acid, and derivatives thereof e.g. salts such as ammonium glycyrrhizinate and esters such as stearyl glycyrrhetinate. Particularly preferred herein are panthenol, pantothenic acid and their ether, ester or salt derivatives and mixtures thereof; suitable levels are from about 0.1 to about 5%, preferably from about 0.5 to about 3%. Panthenol is especially preferred.

### Sunscreens and Sunblocks

Compositions of the subject invention can contain a sunscreen. Suitable sunscreens can be organic or inorganic. Especially preferred organic sunscreens include butylmethoxy-dibenzoylmethane, 2-ethylhexyl-p-methoxycinnamate, phenyl benzimidazole sulfonic acid, and octocrylene. Inorganic sunscreens include zinc oxide and titanium dioxide. Amounts of the sunscreen used are typically from about 1% to about 20%, more typically from about 2% to about 10%. Exact amounts will vary depending upon the sunscreen chosen and the desired Sun Protection Factor (SPF). An agent may also be added to any of the compositions useful in the subject invention to improve the skin substantivity of those compositions, particularly to enhance their resistance to being washed off by water, or rubbed off. A preferred agent which will provide this benefit is a copolymer of ethylene and acrylic acid. Compositions comprising this copolymer are disclosed in U.S. Patent 4,663,157, Brock, issued May 5, 1987.

### Anti-Oxidants/Radical Scavengers

Compositions of the subject invention can further include an anti-oxidant/radical scavenger. The anti-oxidant/radical scavenger is especially useful for providing

protection against UV radiation which can cause increased scaling or texture changes in the stratum corneum and against other environmental agents which can cause skin damage. Suitable amounts are from about 0.1% to about 10%, more preferably from about 1% to about 5%, of the composition.

- 5 Anti-oxidants/radical scavengers such as ascorbic acid (vitamin C) and its salts, ascorbyl esters of fatty acids, ascorbic acid derivatives (e.g., magnesium ascorbyl phosphate),  $\beta$ -carotene, tocopherol (vitamin E), tocopherol sorbate, tocopherol acetate, other esters of tocopherol, butylated hydroxy benzoic acids and their salts, gallic acid and its alkyl esters, especially propyl gallate, uric acid and its salts and alkyl esters, sorbic acid and its salts,  
10 amines (e.g., N,N-diethylhydroxylamine, amino-guanidine), sulfhydryl compounds (e.g., glutathione), dihydroxy fumaric acid and its salts, bioflavonoids, lysine, methionine, proline, superoxide dismutase, silymarin, tea extracts, grape skin/seed extracts, melanin, and rosemary extracts may be used. Preferred anti-oxidants/radical scavengers are selected from tocopherol acetate, tocopherol sorbate and other esters of tocopherol, more  
15 preferably tocopherol acetate.

#### Chelators

- The inclusion of a chelating agent is especially useful for providing protection against UV radiation which can contribute to excessive scaling or skin texture changes and against other environmental agents which can cause skin damage. A suitable amount is from  
20 about 0.01% to about 1%, more preferably from about 0.05% to about 0.5%, of the composition. Exemplary chelators that are useful herein are disclosed in U.S. Patent No. 5,487,884. Preferred chelators useful in compositions of the subject invention are ethylenediamine tetraacetic acid (EDTA), furildioxime and derivatives thereof.

#### Desquamation Agents/Exfoliants

- 25 A safe and effective amount of a desquamation agent may be added to the compositions of the subject invention, more preferably from about 0.1% to about 10%, even more preferably from about 0.2% to about 5%, also preferably from about 0.5% to about 4% of the composition. Desquamation agents enhance the skin appearance benefits of the present invention. For example, the desquamation agents tend to improve the texture of  
30 the skin (e.g., smoothness). A variety of desquamation agents are known in the art and are suitable for use herein, including organic hydroxy acids such as salicylic acid, glycolic acid, lactic acid, 5-octanoyl salicylic acid, hydroxyoctanoic acid, hydroxycaprylic acid, and lanolin fatty acids. One desquamation system that is suitable for use herein comprises sulphhydryl compounds and zwitterionic surfactants and is described in  
35 WO 96/01101. Another desquamation system that is suitable for use herein comprises

salicylic acid and zwitterionic surfactants and is described in WO 95/13048. Salicylic acid is preferred.

#### Skin Lightening Agents

The compositions of the present invention can also comprise a skin lightening agent. When used, the compositions preferably comprise from about 0.1% to about 10%, more preferably from about 0.2% to about 5%, also preferably from about 0.5% to about 2%, of a skin lightening agent. Suitable skin lightening agents include those known in the art, including kojic acid, arbutin, ascorbic acid and derivatives thereof, e.g., magnesium ascorbyl phosphate. Further skin lightening agents suitable for use herein also include those described in WO 95/34280 and WO 95/23780.

#### Preparation of Compositions

The compositions of the present invention are generally prepared by conventional methods such as are known in the art of making topical compositions. Such methods typically involve mixing of the ingredients in one or more steps to a relatively uniform state, with or without heating, cooling, application of vacuum, and the like.

#### Methods for Regulating Skin Condition

The compositions of the present invention are useful for regulating mammalian skin condition, especially human skin, more especially that of the hands or other non-facial parts of the body, including regulating visible and/or tactile discontinuities in skin, e.g., visible and/or tactile discontinuities in skin texture, more especially discontinuities associated with skin ageing. Regulating skin condition involves topically applying to the skin a safe and effective amount of a composition of the present invention. The amount of the composition which is applied, the frequency of application and the period of use will vary widely depending upon the active levels of a given composition and the level of regulation desired, e.g., in light of the level of skin ageing present in the subject and the rate of further skin ageing.

A wide range of quantities of the compositions of the present invention can be employed to provide a skin appearance and/or feel benefit. Quantities of the present compositions which are typically applied per application are, in mg composition/cm<sup>2</sup> skin, from about 0.1 mg/cm<sup>2</sup> to about 10 mg/cm<sup>2</sup>. A particularly useful application amount is about 2 mg/cm<sup>2</sup>. Typically applications would be on the order of about once per day, however application rates can vary from about once per week up to about three times per day or more.

- The compositions of this invention provide a visible improvement in skin condition essentially immediately following application of the composition to the skin. Such immediate improvement involves coverage or masking of skin imperfections such as textural discontinuities (including those associated with skin ageing, such as enlarged pores), and/or providing a more even skin tone or colour.

- Compositions of the invention which comprise an active for chronically regulating skin also provide visible improvements in skin condition following chronic topical application of the composition. "Chronic topical application" and the like involves continued topical application of the composition over an extended period during the subject's lifetime, typically for periods of at least about one week, more preferably for a period of at least about one month. Typically applications would be on the order of about once per day over such extended periods, however application rates can vary from about once per week up to about three times per day or more.

#### Examples

- The following examples further describe and demonstrate embodiments within the scope of the present invention. They are given for the purpose of illustration and are not to be construed as limitations of the present invention. Where applicable, ingredients are given in CTFA name. All of the examples are oil-in-water emulsions prepared using conventional formulating techniques. The coated titanium dioxide is incorporated via the oil phase ingredients whereas the nylon particles and interference pigment are added via the aqueous phase.

Examples 1 - 8 are representative hand and body lotions according to the invention

<u>Example</u>	1	2	3	4
<u>Ingredient</u>	% w/w	% w/w	% w/w	% w/w
Niacinamide	2.0	4.0	6.0	2.0
Retinyl Propionate	-	0.2	-	-
Panthenol	1.0	2.0	0.5	0.5
Polyacrylamide & isoparaffin & laureth-7	2.0	2.25	2.25	2.0
Glycerine	5.0	3.0	7.0	12.0
Allantoin	0.2	0.05	0.1	-
Aloe vera gel	0.05	0.075	0.05	-
Tocopheryl acetate	0.75	0.5	0.5	0.5
Cetyl alcohol	2.0	1.0	1.25	0.3
Stearyl alcohol	2.0	1.0	1.25	0.5



Behenyl alcohol	1.0	1.0	1.25	0.4
Dimethicone & dimethiconol	0.75	0.5	0.50	2.0
Steareth-21	0.6	0.4	0.5	-
Steareth-2	0.1	0.08	0.03	-
Cetearyl glucoside	-	-	-	0.5
PPG-15 stearyl ether	3.0	2.0	1.00	1.00
Isohexadecane	-	7.0	5.0	5.4
Isononyl isononanoate	5.0	-	-	-
SEFA Cottonate	-	-	-	1.2
Dimethicone (350 mm <sup>2</sup> s <sup>-1</sup> )	0.5	0.0	0.60	-0.60
Disodium EDTA	0.10	0.10	0.10	0.10
Nylon 12 <sup>1</sup>	1.5	1.0	1.1	2.0
Titanium Dioxide (and) Mica <sup>2</sup>	0.75	1.5	1.25	0.25
Polydecene <sup>3</sup>	0	0	0	0
Petrolatum	1.00	4.00	2.00	2.00
Deionised water, fragrance, preservatives	to 100%	to 100%	to 100%	to 100%

Example	5	6	7	8
<u>Ingredient</u>	<u>% w/w</u>	<u>% w/w</u>	<u>% w/w</u>	<u>% w/w</u>
Niacinamide	2.0	3.0	5.0	3.5
Retinyl Propionate	0.28	0.10	0.28	0.28
Panthenol	1.0	1.5	0.5	0.5
Polyacrylamide & isoparaffin & laureth-7	2.0	2.25	2.25	2.0
Glycerine	6.0	4.0	7.0	10.0
Allantoin	0.10	0.10	0.10	-
Aloe vera	0	0.03	0.07	-
Tocopheryl Acetate	0.50	1.25	0.50	0.50
Cetyl Alcohol	0.75	1.0	1.25	0.5
Stearyl alcohol	1.0	1.5	1.20	0.3
Behenyl alcohol	1.00	1.50	1.25	0.4
Steareth-2	0.05	0.05	0.05	-
Steareth-21	0.40	0.45	0.50	-
Cetearyl glucoside	-	-	-	0.5
PPG-15 stearyl ether	3.0	2.0	1.00	1.00

Isohexadecane	5.0	7.0	-	5.4
Isopropyl isostearate	-	-	5.0	2.4
Isononyl isononanoate	1.0	-	-	-
SEFA Cottonate	-	-	-	1.2
Disodium EDTA	0.10	0.10	0.10	0.10
Nylon 12 <sup>1</sup>	1.5	1.0	1.1	2.0
Titanium Dioxide (and) Mica <sup>2</sup>	0.75	1.5	1.25	-
Polydecene <sup>3</sup>	1.00	2.00	1.10	-
Petrolatum	-	-	-	2.0
Deionised water, fragrance, preservatives	to 100%	to 100%	to 100%	to 100%

- On application of the above examples to a subject's hands, at the rate of 2 mg /cm<sup>2</sup> skin, an essentially immediate visual improvement in skin appearance is provided e.g., reduced visibility of pores and a more even skin tone. Continuation of application at the same rate once or twice daily for a period of 3-6 months improves skin surface texture, including
- 5 diminishing fine lines and wrinkles, in addition to the essentially immediate improvements in appearance.

A facial skin cream is prepared from the following components:

Example	7	8
<u>Ingredient</u>	<u>% w/w</u>	<u>% w/w</u>
Niacinamide	2.0	3.5
Panthenol	1.0	2.0
Polyacrylamide & isoparaffin & laureth-7	2.25	2.75
Glycerine	10.0	9.0
Tocopheryl Acetate	0.50	0.75
Cetyl Alcohol	0.8	1.5
Stearyl alcohol	0.6	1.0
PEG-100 stearate	0.1	0.1
Stearic acid	0.1	0.1
Sucrose cocoate and sorbitan stearate <sup>4</sup>	1.0	1.0
Dimethicone and dimethiconol	2.0	4.0
Isohexadecane	3.0	2.0
Isopropyl isostearate	1.5	1.0
SEFA Cottonate	0.5	1.0
Disodium EDTA	0.10	0.10

Polymethylsilsesquioxane <sup>5</sup>	0.5	1.0
Titanium Dioxide	0.2	0.6
Polydecene <sup>3</sup>	1.0	-0
Petrolatum	-	3.0
Deionised water, fragrance, preservatives	to 100%	to 100%

<sup>1</sup> Orgasol® 2002 EXD NAT COS.

<sup>2</sup> A green interference pigment

<sup>3</sup> Silkflo 364 NF from BP Amoco

<sup>4</sup> Arlatone 2121 from ICI

5 <sup>5</sup> Tospearl 145a from GE Silicones

What is claimed is:

1. A topical, leave-on skin care composition comprising:
  - a) from 1% to 10% of a vitamin B<sub>3</sub> compound;
  - b) a high spreading oil selected from:
    - 5 i) branched chain hydrocarbons having a weight average molecular weight of from about 100 to about 1000; and
    - ii) liquid ester emollients of formula I:
 

$$\begin{array}{c} \text{R}^2 \\ | \\ \text{R}^1 - \text{C} - (\text{CH}_2)_x - \text{C} \begin{array}{l} \nearrow \text{O} \\ \searrow \text{OR}^4 \end{array} \\ | \\ \text{R}^3 \end{array}$$

Formula I
  - 10 wherein R<sup>1</sup> is selected from H or CH<sub>3</sub>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are independently selected from C<sub>1</sub>-C<sub>20</sub> straight chain or branched chain alkyl, and x is an integer of from 1 to 20; and
  - iii) mixtures thereof; and
  - c) a dermatologically acceptable carrier,
  - 15 characterised in that the composition comprises from 0.3% to 4% of an anti-tack agent selected from a poly(alphaolefin) having a MW of from 260 to 1000 and an occlusive agent selected from petrolatum, cetyl ricinoleate and lanolin.
2. The composition of Claim 1 wherein the vitamin B<sub>3</sub> compound is niacinamide.
3. The composition of Claim 1 wherein the vitamin B<sub>3</sub> compound is present at a level
  - 20 of from 3% to 8%.
4. The composition of Claim 1 wherein the high spreading oil is a branched chain hydrocarbon.
5. The composition of Claim 4 wherein the branched chain hydrocarbon is isohexadecane.
- 25 6. The composition of Claim 1 wherein the branched chain hydrocarbon is present at a level of from 3% to 7%.
7. The composition of Claim 1 wherein the anti-tack agent is a poly(alphaolefin) having a MW of from 260 to 1000.
8. The composition of Claim 6 wherein the anti-tack agent is polydecene.

9. The composition of Claim 1 wherein the anti-tack agent is petrolatum.
10. The composition of any preceding claim wherein the anti-tack agent is present at a level of from 0.5% to 2.5%, preferably from 1% to 2%.
11. The composition of any preceding claim which further comprises from 4% to 14%  
5 glycerine.
12. The composition of Claim 1 which further comprises from 0.1% to 10% of a organic particulate material having a refractive index of from 1.3 to 1.7, the particulate material being dispersed in the composition and having a volume average particle size in the range of from 5 to 30  $\mu\text{m}$ .
- 10 13. The composition of Claim 12 wherein the particles of the organic particulate material are porous, nylon particles having a volume average particle size in the range of from 15 to 25  $\mu\text{m}$ .
14. The use of polydecene for reducing the stickiness of a leave-on skin care composition comprising from 1% to 10% of a vitamin B<sub>3</sub> compound.
- 15 15. The use of petrolatum for reducing the stickiness of a leave-on skin care composition comprising from 1% to 10% of a vitamin B<sub>3</sub> compound.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/13376

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 A61K/48

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal, CHEM ABS Data, MEDLINE, EMBASE, BIOSIS

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97 39733 A (PROCTER & GAMBLE) 30 October 1997 (1997-10-30) example 3	1-3, 10, 11
X	WO 98 52530 A (PROCTER & GAMBLE) 26 November 1998 (1998-11-26) cited in the application claim 1; example 2	1-3, 10, 11
E	WO 00 48555 A (PROCTER & GAMBLE) 24 August 2000 (2000-08-24) examples 5,6	1-6, 9-11
E	WO 00 48568 A (PROCTER & GAMBLE) 24 August 2000 (2000-08-24) examples 5,6	1-6, 9-11
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☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

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"&amp;" document member of the same patent family

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# INTERNATIONAL SEARCH REPORT

International Application No

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 00 48569 A (PROCTER & GAMBLE) 24 August 2000 (2000-08-24) examples 5,6 ----	1-6,9-11
X	WO 99 24001 A (PROCTER & GAMBLE) 20 May 1999 (1999-05-20) example 5 ----	1-6,10, 11
E	WO 00 47170 A (PROCTER & GAMBLE) 17 August 2000 (2000-08-17) claims 1-10; examples 2-4 ----	1-3,9-11
E	WO 00 51551 A (PROCTER & GAMBLE) 8 September 2000 (2000-09-08) cited in the application examples 4-6 -----	1-3,10, 11

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Information on patent family members

International Application No

PCT/US 00/13376

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